

<C10647191

1/08/06

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID: SSSPTA1626KAS

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * * * * Welcome to STN International * * * * * * * * * * * * *

NEWS 1 Web Page URLs for STN Seminar Schedule - N. America
NEWS 2 "Ask CAS" for self-help around the clock
NEWS 3 SEP 09 ACD predicted properties enhanced in REGISTRY/ZREGISTRY
NEWS 4 OCT 03 MATHDI removed from STN
NEWS 5 OCT 04 CA/CAPLUS-Canadian Intellectual Property Office (CIPO) added to core patent offices
NEWS 6 OCT 13 New CAS Information Use Policies Effective October 17, 2005
NEWS 7 OCT 17 STN(R) AnaVist(TM), Version 1.01, allows the export/download of CAPLUS documents for use in third-party analysis and visualization tools
NEWS 8 OCT 27 Free KWIC format extended in full-text databases
NEWS 9 OCT 27 DIOGENES content streamlined
NEWS 10 OCT 27 EPFULL enhanced with additional content
NEWS 11 NOV 14 CA/CAPLUS - Expanded coverage of German academic research
NEWS 12 NOV 30 REGISTRY/ZREGISTRY on STN(R) enhanced with experimental spectral property data
NEWS 13 DEC 05 CASREACT(R) - Over 10 million reactions available
NEWS 14 DEC 14 2006 MeSH terms loaded in MEDLINE/LMEDLINE
NEWS 15 DEC 14 2006 MeSH terms loaded for MEDLINE file segment of TOXCENTER
NEWS 16 DEC 14 CA/CAPLUS to be enhanced with updated IPC codes
NEWS 17 DEC 16 MARPATprev will be removed from STN on December 31, 2005
NEWS 18 DEC 21 IPC search and display fields enhanced in CA/CAPLUS with the IPC reform
NEWS 19 DEC 23 New IPC8 SEARCH, DISPLAY, and SELECT fields in USPATFULL/USPAT2

NEWS EXPRESS JANUARY 03 CURRENT VERSION FOR WINDOWS IS V8.01,
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 19 DECEMBER 2005.
V8.0 USERS CAN OBTAIN THE UPGRADE TO V8.01 AT
<http://download.cas.org/express/v8.0-Discover/>

NEWS DCOST SINCE APPROXIMATELY 20:00 COLUMBUS TIME DECEMBER 29,
SOME ONLINE COST DISPLAYS HAVE BEEN SHOWING COSTS IN
2006 PRICES FOR STN COLUMBUS FILES. THIS HAS BEEN
CORRECTED. PLEASE BE ASSURED THAT YOU WILL BE BILLED
ACCORDING TO 2005 PRICES UNTIL JAN 1. PLEASE CONTACT
YOUR LOCAL HELP DESK IF YOU HAVE ANY QUESTIONS. WE
APOLOGIZE FOR THE ERROR.

NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS INTER General Internet Information
NEWS LOGIN Welcome Banner and News Items
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1/08/06

NEWS WWW CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

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FILE 'HOME' ENTERED AT 07:20:30 ON 09 JAN 2006

FILE 'REGISTRY' ENTERED AT 07:20:41 ON 09 JAN 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 8 JAN 2006 HIGHEST RN 871465-69-9
DICTIONARY FILE UPDATES: 8 JAN 2006 HIGHEST RN 871465-69-9

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

```
*****
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,   *
* effective March 20, 2005. A new display format, IDENTL, is now    *
* available and contains the CA role and document type information. *
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Structure search iteration limits have been increased. See HELP SLIMITS for details.

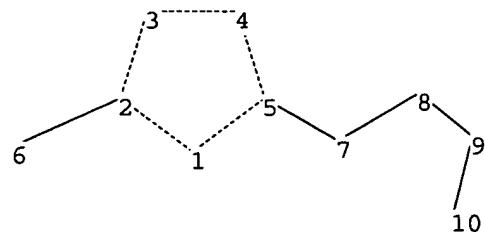
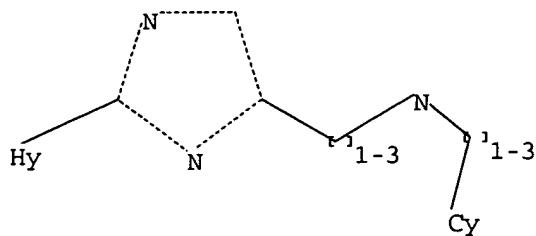
REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=>
Uploading C:\Program Files\Stnexp\Queries\10647191.str

<C10647191

1/08/06

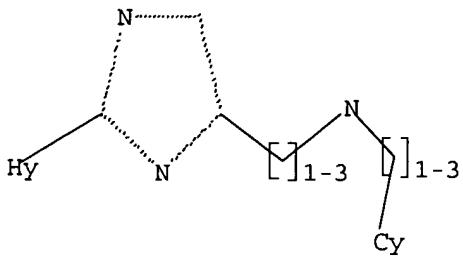


```
chain nodes :  
6 7 8 9 10  
ring nodes :  
1 2 3 4 5  
chain bonds :  
2-6 5-7 7-8 8-9 9-10  
ring bonds :  
1-2 1-5 2-3 3-4 4-5  
exact/norm bonds :  
1-2 1-5 2-3 2-6 3-4 4-5 7-8 8-9 9-10  
exact bonds :  
5-7  
isolated ring systems :  
containing 1 :
```

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:Atom

L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 11
SAMPLE SEARCH INITIATED 07:21:03 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 13407 TO ITERATE

14.9% PROCESSED 2000 ITERATIONS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

1 ANSWERS

<C10647191

1/08/06

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 261204 TO 275076
PROJECTED ANSWERS: 1 TO 289

L2 1 SEA SSS SAM L1

=> s 11 full
FULL SEARCH INITIATED 07:21:12 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 269257 TO ITERATE

100.0% PROCESSED 269257 ITERATIONS 258 ANSWERS
SEARCH TIME: 00.00.12

L3 258 SEA SSS FUL L1

=> file reg
COST IN U.S. DOLLARS SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST 170.46 170.67

FILE 'REGISTRY' ENTERED AT 07:25:48 ON 09 JAN 2006
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STRUCTURE FILE UPDATES: 8 JAN 2006 HIGHEST RN 871465-69-9
DICTIONARY FILE UPDATES: 8 JAN 2006 HIGHEST RN 871465-69-9

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

* *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*

Structure search iteration limits have been increased. See HELP SLIMITS
for details.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

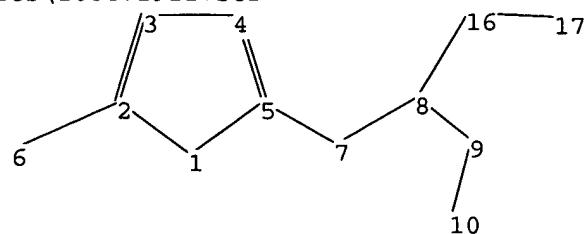
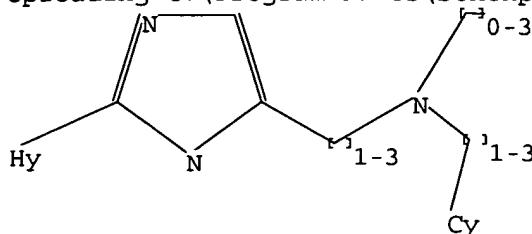
<http://www.cas.org/ONLINE/UG/regprops.html>

<C10647191

1/08/06

=>

Uploading C:\Program Files\Stnexp\Queries\106471911.str



chain nodes :

6 7 8 9 10 16 17

ring nodes :

1 2 3 4 5

chain bonds :

2-6 5-7 7-8 8-9 8-16 9-10 16-17

ring bonds :

1-2 1-5 2-3 3-4 4-5

exact/norm bonds :

1-2 1-5 2-3 2-6 3-4 7-8 8-9 8-16 9-10 16-17

exact bonds :

4-5 5-7

isolated ring systems :

containing 1 :

Match level :

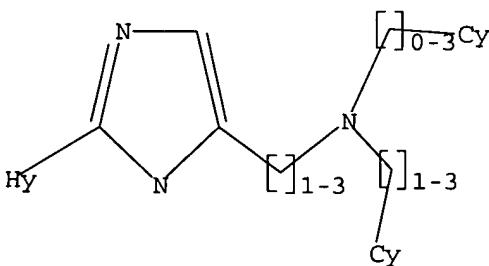
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:Atom
16:CLASS 17:Atom

L4 STRUCTURE UPLOADED

=> d

L4 HAS NO ANSWERS

L4 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 14

SAMPLE SEARCH INITIATED 07:26:14 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 13407 TO ITERATE

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1/08/06

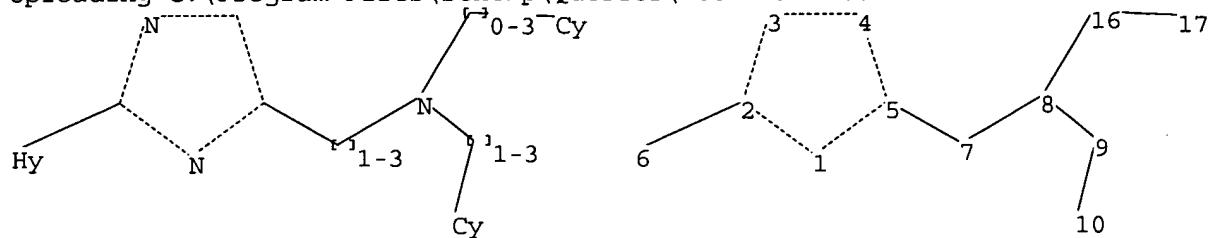
14.9% PROCESSED 2000 ITERATIONS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

0 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
 BATCH **COMPLETE**
PROJECTED ITERATIONS: 261204 TO 275076
PROJECTED ANSWERS: 0 TO 0

L5 0 SEA SSS SAM L4

=>
Uploading C:\Program Files\Stnexp\Queries\106471912.str

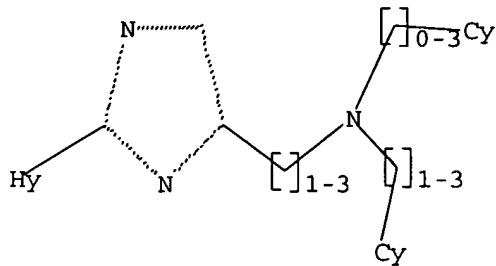


chain nodes :
6 7 8 9 10 16 17
ring nodes :
1 2 3 4 5
chain bonds :
2-6 5-7 7-8 8-9 8-16 9-10 16-17
ring bonds :
1-2 1-5 2-3 3-4 4-5
exact/norm bonds :
1-2 1-5 2-3 2-6 3-4 4-5 7-8 8-9 8-16 9-10 16-17
exact bonds :
5-7
isolated ring systems :
containing 1 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:Atom
16:CLASS 17:Atom

L6 STRUCTURE UPLOADED

=> d
L6 HAS NO ANSWERS
L6 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 16

SAMPLE SEARCH INITIATED 07:27:20 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 13407 TO ITERATE

14.9% PROCESSED 2000 ITERATIONS 0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 261204 TO 275076
PROJECTED ANSWERS: 0 TO 0

L7 0 SEA SSS SAM L6

=> s 16 full
FULL SEARCH INITIATED 07:27:32 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 269257 TO ITERATE

100.0% PROCESSED 269257 ITERATIONS 16 ANSWERS
SEARCH TIME: 00.00.12

L8 16 SEA SSS FUL L6

| | | | |
|----------------------|--|------------|---------|
| => file caplus | | | |
| COST IN U.S. DOLLARS | | SINCE FILE | TOTAL |
| FULL ESTIMATED COST | | ENTRY | SESSION |
| | | 167.82 | 338.49 |

FILE 'CAPLUS' ENTERED AT 07:27:50 ON 09 JAN 2006
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FILE COVERS 1907 - 9 Jan 2006 VOL 144 ISS 3
FILE LAST UPDATED: 8 Jan 2006 (20060108/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

<http://www.cas.org/infopolicy.html>

=> s 18
L9 6 L8

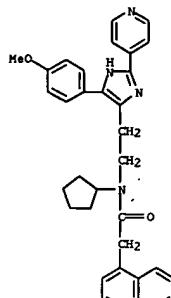
=> d ibib abs hitstr tot

L9 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2004:902086 CAPLUS
 DOCUMENT NUMBER: 141:380753
 TITLE: Heterocyclic compound modulators of Tie-2 and other kinases and therapeutic use
 INVENTOR(S): Chen, Jeff; Dalrymple, Lisa; Epehteyn, Sergey; Forsyth, Timothy; Huynh, Tai; Leahy, James; Mann, Grace; Mann, Larry W.; Ridgway, Brian; Sangalang, Joan C.; Takeuchi, Craig
 PATENT ASSIGNEE(S): Exelixis, Inc., USA
 SOURCE: PCT Int. Appl., 126 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|------------|
| WO 2004091480 | A2 | 20041028 | WO 2004-US10626 | 20040408 |
| WO 2004091480 | A3 | 20050511 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KW, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NL,
NO, NZ, OM, PG, PL, PT, RO, SC, SD, SE, SG, SK, SI, ST,
TJ, TM, TW, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZH, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AH, AZ,
BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DN, EE,
ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,
SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,
TD, TG | | | | |
| CA 2520255 | AA | 20041028 | CA 2004-2520255 | 20040408 |
| EP 1611123 | A2 | 20060104 | EP 2004-759191 | 20040408 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR | | | | |
| PRIORITY APPLN. INFO.: US 2003-461471P | | | P 20030409 | |
| | | | WO 2004-US10626 | W 20040408 |

OTHER SOURCE(S): MARPAT 141:380753
 AB The invention provides heterocyclic compds. for modulating protein kinase enzymatic activity for modulating cellular activities such as proliferation, differentiation, programmed cell death, migration and chemoinvasion. Compds. of the invention inhibit, regulate and/or modulate kinases, particularly Tie-2. Methods of using the compds. and pharmaceutical compns. thereof to treat kinase-dependent diseases and conditions are also an aspect of the invention. Preparation of triazolyl compds. of the invention is included.
 IT 783327-03-7
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (heterocyclic compound modulators of Tie-2 and other kinases, and therapeutic use)
 RN 783327-03-7 CAPLUS
 CN 1-Naphthaleneacetamide, N-cyclopentyl-N-[2-(5-(4-methoxyphenyl)-2-(4-pyridinyl)-1H-imidazol-4-yl)ethyl]- (9CI) (CA INDEX NAME)

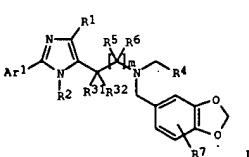
L9 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L9 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2004:327187 CAPLUS
 DOCUMENT NUMBER: 140:321364
 TITLE: Preparation of substituted imidazoles, pyrazoles and amides as high affinity C5a receptor modulators
 INVENTOR(S): Thurkauf, Andrew He, Xiao-shu; Zhao, He; Peterson, John; Zhang, Xiaoyan; Brodbeck, Robbin; Krause, James; Maynard, George; Hutchison, Alan
 PATENT ASSIGNEE(S): Neurogen Corporation, USA
 SOURCE: U.S., 592 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|-------------|
| US 6723743 | B1 | 20040420 | US 2000-672071 | 20000928 |
| US 6884815 | B1 | 20050426 | US 2003-461311 | 20030612 |
| PRIORITY APPLN. INFO.: | | | US 1999-156390P | P 19990928 |
| | | | US 2000-202749P | P 20000508 |
| | | | US 2000-212459P | P 20000616 |
| | | | US 2000-221787P | P 20000731 |
| | | | US 2000-224036P | P 20000809 |
| | | | US 2000-212449P | P 20000616 |
| | | | US 2000-672071 | A3 20000928 |

OTHER SOURCE(S): MARPAT 140:321364
 GI

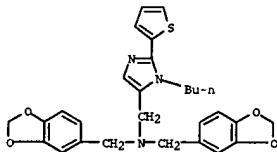


AB The invention includes low mol. weight, non-peptidic, non-peptidomimetic, organic mols. that can act as modulators of mammalian complement C5a receptors, preferably ones that act as high affinity C5a receptor ligands and also such ligands that can act as antagonists or inverse agonists of complement C5a receptors. Preferred compds. of the invention possess some or all of the following properties in that they are: (1) multi-aryl in structure; (2) heteroaryl in structure; (3) a pharmaceutically acceptable oral dose can provide a detectable *in vivo* effect; (4) comprise fewer than four or preferably no amide bonds; and (5) capable of habituating leukocyte chemotaxis at nanomolar or sub-nanomolar concns. Such compds. include mainly substituted arylimidazoles I (m = 0-2; R1 = H, OH, halo, NH2, etc.; R2 = alkyl, cycloalkyl, haloalkyl, etc.; R31, R32, R5, R6 = H, OH, halo,

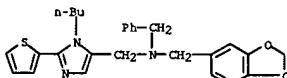
L9 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 (R1 = alkyl, alkenyl, cycloalkyl, etc.; R7 = 0-3 groups selected from halo, NO2, CN, CF3, etc.), and also pyrazoles, amides, etc. Detailed prepn. of some of the title compds. was given. E.g., a multi-step synthesis of I [Ar1 = Ph; R1, R31, R32, R7 = H; R2 = Bu; R4 = 3,4-methylenedioxyphenyl] was presented. The invention also includes pharmaceutical compn. comprising the title compds. and the use of such compds. in treating a variety of disorders.

IT 439558-54-0P 439558-56-2P 439558-59-4P
 RL: PAC (Pharmacological activity); SPP (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of substituted imidazoles, pyrazoles and amides as high affinity C5a receptor modulators)

RN 439558-54-0 CAPLUS
 CN 1H-imidazole-5-methanamine, N-(1,3-benzodioxol-5-ylmethyl)-1-butyl-2-(2-thienyl)- (9CI) (CA INDEX NAME)



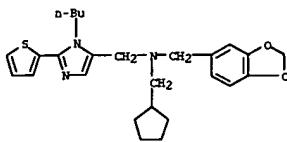
RN 439558-56-2 CAPLUS
 CN 1H-imidazole-5-methanamine, N-(1,3-benzodioxol-5-ylmethyl)-1-butyl-N-(phenylmethyl)-2-(2-thienyl)- (9CI) (CA INDEX NAME)



RN 439558-58-4 CAPLUS
 CN 1H-imidazole-5-methanamine, N-(1,3-benzodioxol-5-ylmethyl)-1-butyl-N-(cyclopentylmethyl)-2-(2-thienyl)- (9CI) (CA INDEX NAME)

L9 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN

(Continued)



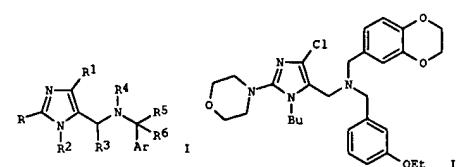
REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2004182872 CAPLUS
 DOCUMENT NUMBER: 1401235712
 TITLE: Preparation of aminomethyl imidazoles as complement CS_a receptor modulators
 INVENTOR(S): Thurkauf, Andrew; Zhao, He; Zhang, Suoming; Gao, Yang
 PATENT ASSIGNEE(S): Neurogen Corporation, USA
 SOURCE: PCT Int. Appl., 104 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|-------------------|-----------------|------------|
| WO 2004018460 | A1 | 20040304 | WO 2003-US26432 | 20030821 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, 2M, ZW, RW: GH, GM, KE, LS, MW, MZ, SD, SL, S2, TZ, UG, ZM, ZW, AM, AZ, BY, EG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BP, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 2004025577 | A1 | 20040429 | US 2003-647191 | 20030821 |
| PRIORITY APPLN. INFO.: GI | | MARPAT 140:235712 | US 2002-405186P | P 20020821 |
| OTHER SOURCE(S): | | | | |

APP 1.1 cap

GI



AB Aminomethyl imidazoles of formula I [R = H, halo, CN, alkyl, etc.; R1 = H, OH, halo, amino, CN, nitro, alkyl, etc.; R2 = alkyl, alkenyl; R3 = H, alkyl; R4 = alkyl, arylalkyl, etc.; R5, R6 = H, alkyl; Ar = aryl, heteroaryl, fused Ph, etc.] are prepared which are ligands of CS_a receptors. Preferred compds. bind to CS_a receptors with high affinity and exhibit neutral antagonist or inverse agonist activity at CS_a receptors. The compds. can be used for the treatment of a variety of inflammatory,

L9 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 cardiovascular, and immune system disorders. Pharmaceutical compns. contg. compds. of formula I are described. Addnl., this invention provides labeled aminomethyl imidazoles compds., which are useful as probes for the localization of CS_a receptors. Thus, II was prep'd. from morpholine, bromodichlorobutylimidazole and (dihydrobenzodioxinylmethyl)(e thoxybenzyl)amine. Many of the prep'd. compds. exhibit a K_i value of less than 1 μM in an assay of CS_a receptor mediated calcium mobilization.

IT 666834-05-5P 666834-06-6P 666834-15-7P

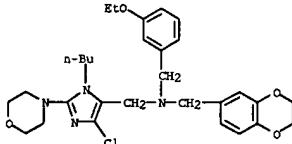
666834-16-8P 666834-22-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOC (Biological study); PREP (Preparation); USES (Uses)

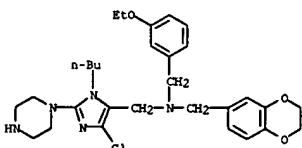
(preparation of aminomethyl imidazoles as complement CS_a receptor modulators)

RN 666834-05-5 CAPLUS

CN 1H-Imidazole-5-methanamine, 1-butyl-4-chloro-N-[(2,3-dihydro-1,4-benzodioxin-6-yl)methyl]-N-[{(3-ethoxyphenyl)methyl]-2-(4-morpholinyl)-(9CI) (CA INDEX NAME)

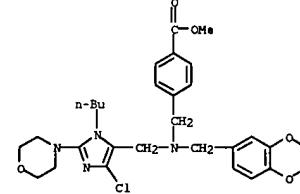


RN 666834-06-6 CAPLUS
 CN 1H-Imidazole-5-methanamine, 1-butyl-4-chloro-N-[(2,3-dihydro-1,4-benzodioxin-6-yl)methyl]-N-[{(3-ethoxyphenyl)methyl]-2-(1-piperazinyl)-(9CI) (CA INDEX NAME)

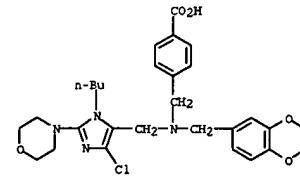


RN 666834-15-7 CAPLUS
 CN Benzoic acid, 4-[[[1-butyl-4-chloro-2-(4-morpholinyl)-1H-imidazol-5-yl]methyl][(2,3-dihydro-1,4-benzodioxin-6-yl)methyl]amino]methyl-, methyl ester (9CI) (CA INDEX NAME)

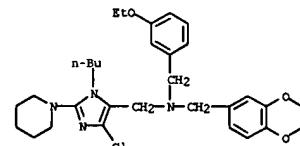
L9 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 666834-16-8 CAPLUS
 CN Benzoic acid, 4-[[[1-butyl-4-chloro-2-(4-morpholinyl)-1H-imidazol-5-yl]methyl][(2,3-dihydro-1,4-benzodioxin-6-yl)methyl]amino]methyl- (9CI) (CA INDEX NAME)



RN 666834-22-6 CAPLUS
 CN 1H-Imidazole-5-methanamine, 1-butyl-4-chloro-N-[(2,3-dihydro-1,4-benzodioxin-6-yl)methyl]-N-[{(3-ethoxyphenyl)methyl]-2-(1-piperidinyl)-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

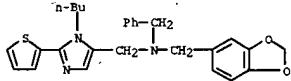
L9 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN

(Continued)

L9 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2003:818275 CAPLUS
 DOCUMENT NUMBER: 139:286343
 TITLE: Combination therapy using a C5a antagonist and a C5a receptor-inactive therapeutic agent for the treatment of conditions with pathogenic inflammatory components
 INVENTOR(S): Krause, James
 PATENT ASSIGNEE(S): Neurogen Corporation, USA
 SOURCE: PCT Int. Appl., 221 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE | |
|---|--|-------------|-----------------|-----------------|----------|
| WO 2003084524 | A1 | 20031016 | WO 2003-US9424 | 20030327 | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NL, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZH, ZW, AM, AZ, BY, KG, KZ, MD, RU, TU, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG | CA 2480082 | AA 20031016 | CA 2003-2480082 | 20030327 |
| US 2004014782 | A1 | 20040122 | US 2003-401113 | 20030327 | |
| EP 1490044 | A1 | 20041229 | EP 2003-716867 | 20030327 | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, HK, CY, AL, TR, BG, CZ, EE, HU, SK | JP 2005530719 | T2 20051013 | JP 2003-581764 | 20030327 | |
| PRIORITY APPLN. INFO.: US 2002-368925P | | | US 2002-368925P | P 20020329 | |
| | | | WO 2003-US9424 | W 20030327 | |

OTHER SOURCE(S): MARPAT 139:286343
 AB Compns. and methods for treating diseases that are associated with inflammation are provided. Such diseases include arthritis (particularly rheumatoid arthritis) and other autoimmune disorders, asthma, cardio-and cerebrovascular disease, burns, psoriasis, reperfusion injury, and traumatic CNS and spinal cord injury. The compns. generally comprise at least one C5a antagonist and at least one C5a receptor-inactive therapeutic agent. The methods involve co-administration of at least one C5a antagonist and at least one C5a receptor-inactive therapeutic agent to a patient. The C5a antagonist and C5a receptor-inactive therapeutic agent may be present within the same composition, or may be administered sep. to the patient.
 IT 439558-56-2
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (C5a antagonist-C5a receptor-inactive therapeutic agent combination for treatment of condition with pathogenic inflammatory component)
 RN 439558-56-2 CAPLUS
 CN 1H-Imidazole-5-methanamine, N-(1,3-benzodioxol-5-ylmethyl)-1-butyl-N-

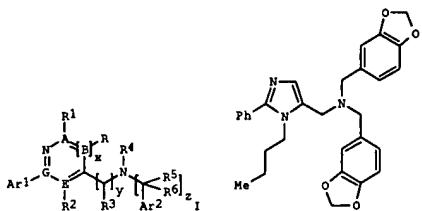
L9 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 (phenylmethyl)-2-(2-thienyl)- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2003:796668 CAPLUS
 DOCUMENT NUMBER: 139:307760
 TITLE: Preparation of new aryl imidazoles and related compounds as C5a receptor modulators
 INVENTOR(S): Luke, George F.; Maynard, George; Mitchell, Scott; Thurkauf, Andrew; Xie, Linghong; Zhang, Luyan; Zhang, Suoming; Zhao, He; Chenard, Bertrand L.; Gao, Yang; Han, BingSong; He, Xiao Shu
 PATENT ASSIGNEE(S): Neurogen Corporation, USA
 SOURCE: PCT Int. Appl., 356 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE | |
|---|--|-------------|-----------------|-----------------|----------|
| WO 2003082829 | A1 | 20031009 | WO 2003-US9938 | 20030328 | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NL, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZH, ZW, AM, AZ, BY, KG, KZ, MD, RU, TU, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG | CA 2480888 | AA 20031009 | CA 2003-2480888 | 20030328 |
| US 2004116424 | A1 | 20040617 | US 2003-405989 | 20030328 | |
| EP 1490343 | A1 | 20041229 | EP 2003-726169 | 20030328 | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MX, CY, AL, TR, BG, CZ, EE, HU, SK | JP 2005528368 | T2 20050922 | JP 2003-580297 | 20030328 | |
| PRIORITY APPLN. INFO.: JP 2003-580297 | | | US 2002-369112P | P 20020329 | |
| | | | US 2002-392145P | P 20020626 | |
| | | | WO 2003-US9938 | W 20030328 | |

OTHER SOURCE(S): MARPAT 139:307760
 GI



AB The title imidazoles, pyrazoles, pyridazines [I]; the ring system in the formula I = 5-membered heteroaryl ring system (in which x = 0, A = C, N, O, S, and E and G = C, N, provided that the 5-membered heteroaryl ring system does not contain more than 3 heteroatoms or more than 1 O or S atom) or 6-membered heteroaryl ring system (in which x = 1, A, B, E, and G = C, N, and provided that the 6-membered heteroaryl ring system does not contain more than 3 N atoms); R, R1 = H, OH, halo, etc.; when E = N, then R2 = alkyl, alkenyl, CH2Ph, etc.; when E = C, then R2 = H, halo, OH, etc.; R3 = H, alkyl, alkenyl, etc.; R4 = alkyl, alkenyl, cycloalkyl, etc.; R5, R6 = H, alkyl; z = 1-3; Ar1 = (un)substituted aryl, heteroaryl, Ph fused to 5-7 membered (un)saturated ring that has 0-2 ring atoms chosen from N, O, and S; Ar2 = cycloalkyl, cycloalkylalkyl, aryl having 1 ring or 2 fused or pendant rings, etc.; y = 1-6 which are ligands of C5a receptors, were prepared and formulated. E.g., a multi-step synthesis of II (starting from Me benzimidate hydrochloride and 1-butylamine), was given. Preferred compds. I bind to C5a receptors with high affinity (biol. data given) and exhibit neutral antagonists or inverse agonist activity at C5a receptors. This invention also relates to pharmaceutical compds. comprising such compds. It further relates to the use of such compds. in treating a variety of inflammatory and immune system disorders.

IT 610287-35-9P 610287-86-OP 610288-15-8P

610294-29-6P 610295-02-8P 610295-41-5P

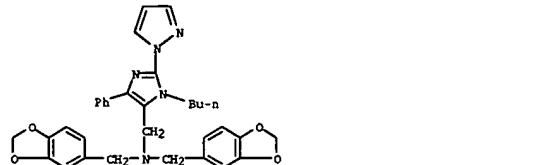
610298-53-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

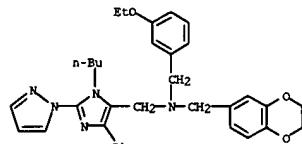
(preparation of new aryl imidazoles and related compds. as C5a receptor modulators)

RN 610287-35-9 CAPLUS

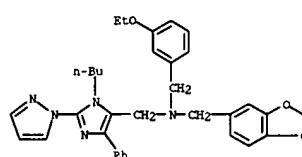
CN 1H-Imidazole-5-methanamine, N,N-bis(1,3-benzodioxol-5-ylmethyl)-1-butyl-4-phenyl-2-(1H-pyrazol-1-yl)- (9CI) (CA INDEX NAME)



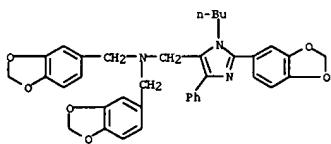
RN 610287-86-0 CAPLUS
CN 1H-Imidazole-5-methanamine, 1-butyl-N-{(2,3-dihydro-1,4-benzodioxin-6-yl)methyl}-N-[(3-ethoxyphenyl)methyl]-4-phenyl-2-(1H-pyrazol-1-yl)- (9CI)
(CA INDEX NAME)



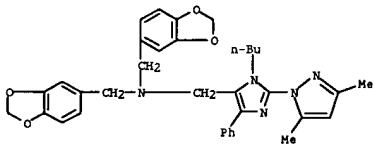
RN 610288-15-8 CAPLUS
CN 1H-Imidazole-5-methanamine, N-(1,3-benzodioxol-5-ylmethyl)-1-butyl-N-[(3-ethoxyphenyl)methyl]-4-phenyl-2-(1H-pyrazol-1-yl)- (9CI) (CA INDEX NAME)



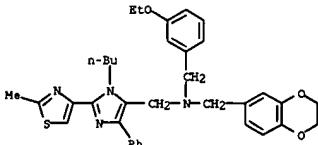
RN 610294-29-6 CAPLUS
CN 1H-Imidazole-5-methanamine, 2-(1,3-benzodioxol-5-yl)-N,N-bis(1,3-benzodioxol-5-ylmethyl)-1-butyl-4-phenyl- (9CI) (CA INDEX NAME)



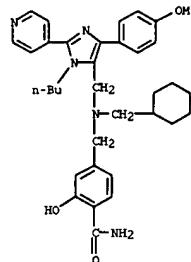
RN 610295-02-8 CAPLUS
CN 1H-Imidazole-5-methanamine, N,N-bis(1,3-benzodioxol-5-ylmethyl)-1-butyl-2-(3,5-dimethyl-1H-pyrazol-1-yl)-4-phenyl- (9CI) (CA INDEX NAME)



RN 610295-41-5 CAPLUS
CN 1H-Imidazole-5-methanamine, 1-butyl-N-{(2,3-dihydro-1,4-benzodioxin-6-yl)methyl}-N-[(3-ethoxyphenyl)methyl]-2-(2-methyl-4-thiazolyl)-4-phenyl- (9CI) (CA INDEX NAME)



RN 610298-53-8 CAPLUS
CN Benzamide, 4-[[[1-butyl-4-(4-methoxyphenyl)-2-(4-pyridinyl)-1H-imidazol-5-yl]methyl](cyclohexylmethyl)amino]methyl]-2-hydroxy- (9CI) (CA INDEX NAME)

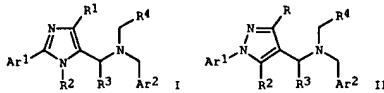


REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2002-487497 CAPLUS
 DOCUMENT NUMBER: 137-78952
 TITLE: Preparation of substituted imidazoles, pyrazoles and amides as high affinity C5a receptor modulators
 INVENTOR(S): Thurkauf, Andrew; Zhang, Xiaoyan; He, Xia-Shui; Zhao, He; Peterson, John; Maynard, George; Ohliger, Robert
 PATENT ASSIGNEE(S): Neurogen Corporation, USA
 SOURCE: PCT Int. Appl., 609 pp.
 CODEN: PIIXDZ
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

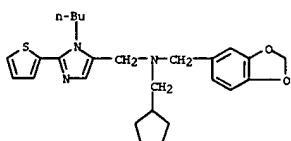
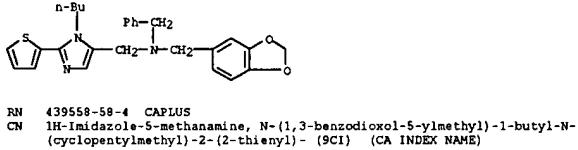
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 2002049993 | A2 | 20020627 | WO 2000-US26816 | 20000929 |
| WO 2002049993 | A3 | 20030220 | | |
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ES, FI, GB, GD, GE, GH, GM, HR, HU,
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LK, LR, LS, LT, LU,
LV, MA, MD, MG, MK, MN, MW,
NO, NZ, PL, PT, RO, RU, SD, SE,
SG, SI, SK, SL, TJ, TM, TR,
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RU, TJ, TM,
RW, GH, GH, KE, LW, MZ, SD,
SL, Z, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR,
IE, GA, GN, GW, HL, HR, NE, SN, TD, TG | | | | |
| CA 2420215 | AA | 20020627 | CA 2000-2420215 | 20000929 |
| AU 2000076225 | AS | 20020701 | AU 2000-76225 | 20000929 |
| EP 1322309 | A2 | 20030702 | EP 2000-965522 | 20000929 |
| R: AT, BE, CH, DE, DK, ES, FR,
GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, PL, MK, CY, AL | | | | |
| ZA 2003001160 | A | 20040422 | ZA 2003-1160 | 20000929 |
| BR 2000017338 | A | 20040427 | BR 2000-17338 | 20000929 |
| JP 2004525873 | T2 | 20040826 | JP 2002-551496 | 20000929 |
| NO 2003001370 | A | 20030530 | NO 2003-1370 | 20030326 |
| PRIORITY APPLN. INFO.: | | | US 2000-227454P | P 20000823 |
| | | | WO 2000-US26816 | W 20000929 |

OTHER SOURCE(S): MARPAT 137:78952
 GI



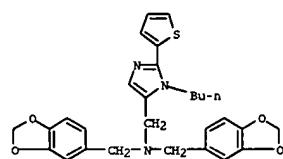
AB The invention includes low mol. weight, non-peptidic, non-peptidomimetic, organic mols. that can act as modulators of mammalian complement C5a receptors,

L9 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L9 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 preferably ones that act as high affinity C5a receptor ligands and also such ligands that can act as antagonists or inverse agonists of complement C5a receptors. Preferred compds. of the invention possess one or all of the following properties in that they are: (1) multi-aryl in structure; (2) heterocycl in structure; (3) a pharmaceutically acceptable oral dose can provide a detectable in vivo effect; (4) comprise fewer than four or preferably no amide bonds, and (5) capable of habitting leucocyte chemotaxis at nanomolar or sub-nanomolar concns. Such compds. include imidazoles I [R1 = H, OH, halo, etc., R2 = alkyl, cycloalkyl, etc.], R3 H, alkyl, etc.; R4 = alkyl, alkenyl, cycloalkyl, etc., Ar1, Ar2 = (un)substituted carbocyclic aryl, arylalkyl, etc., pyrazoles II [R = H, OH, halo, etc., R2, R3 = H, OH, halo, etc., R4 = alkyl, alkenyl, cycloalkyl, etc., Ar1, Ar2 = (un)substituted carbocyclic aryl, arylalkyl, etc.], imides Ar1CON(R2) [III], R1, R2 = alkyl, alkenyl, cycloalkyl, etc., Ar1 = (un)substituted carbocyclic aryl, arylalkyl, etc., etc. Detailed prepn. of some compds. I-III was given, e.g., a multi-step synthesis of I [Ar1 = Ph, R1, R3 = H; R2 = Bu; Ar2 = 3,4-methylenedioxyphenyl] was presented. The invention also includes pharmaceutical compn. comprising such compds. I-III and the use of such compds. in treating a variety of inflammatory and immune system disorders.

IT 439558-54-0 439558-56-2P 439558-58-4P
 RL: PAC (Pharmacological activity); SPF (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 RN 439558-54-0 CAPLUS
 CN 1H-Imidazole-5-methanamine, N,N-bis(1,3-benzodioxol-5-ylmethyl)-1-butyl-2-(2-thienyl)- (9CI) (CA INDEX NAME)



RN 439558-56-2 CAPLUS
 CN 1H-Imidazole-5-methanamine, N-(1,3-benzodioxol-5-ylmethyl)-1-butyl-N-(phenylmethyl)-2-(2-thienyl)- (9CI) (CA INDEX NAME)

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| FULL ESTIMATED COST | 31.12 | 369.61 |
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